



Serial No.: 10/849,606 Filed: 05/20/04  
Examiner: Aulakh, Charanjit Art Unit: 1625  
Applicant: Stefan Kwiatkowski  
Title: Fluorinated Heterocyclic Compounds and  
Methods of Synthesis  
Atty. Docket No.: CUTLER-08582 Sheet 1 of 8

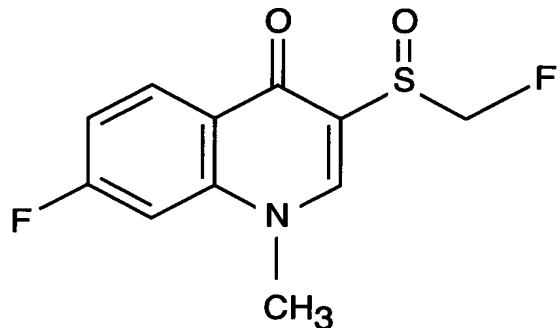


FIG. 1A

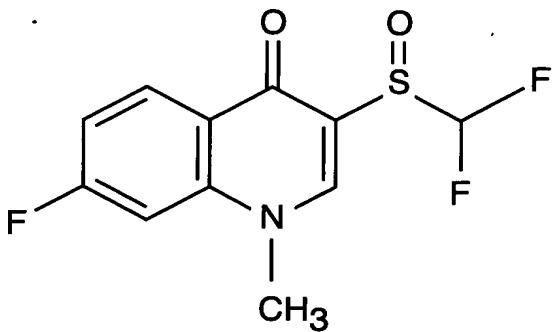


FIG. 1B

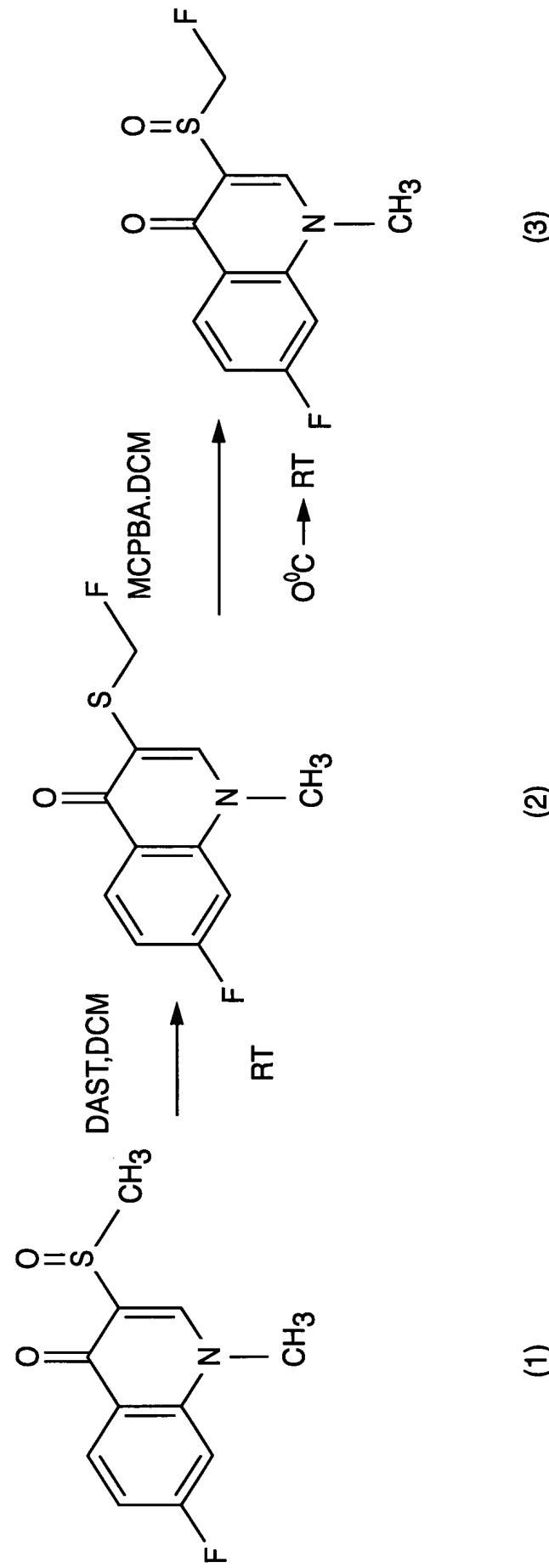


FIG. 2

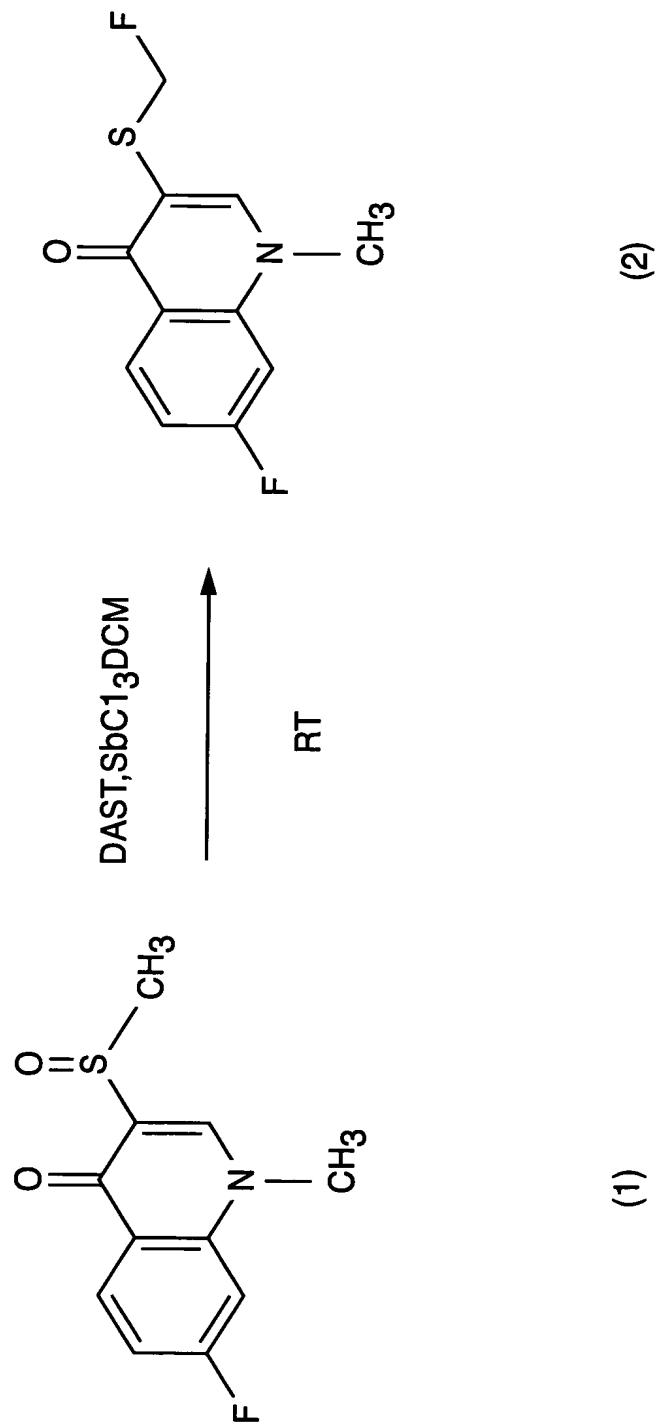


FIG. 3

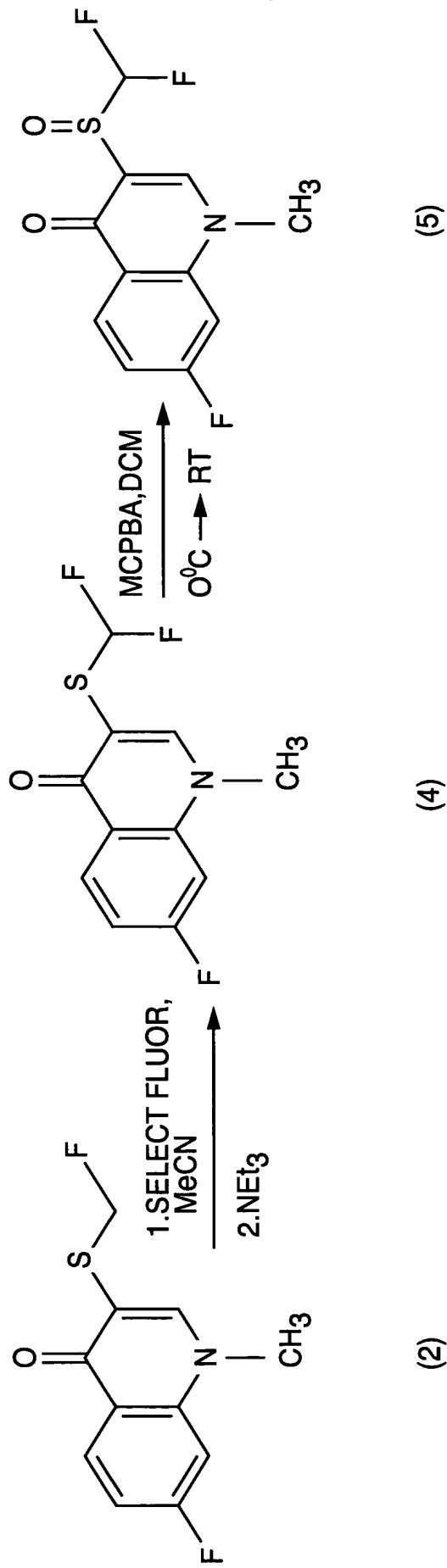


FIG. 4

CAT.#	TARGET	BATCH <sup>†</sup>	SPP. n= CONC.	†%INHIBITION				IC <sub>50</sub>	K <sub>1</sub>	n <sub>H</sub>	R
				-100	-50	0	50				
146000	PHOSPHODIESTERASE PDE1	45373	bov	2	100 $\mu$ M	29					
148000	PHOSPHODIESTERASE PDE2	45375	hum	2	100 $\mu$ M	10	□				
152000	PHOSPHODIESTERASE PDE3	45376	hum	2	100 $\mu$ M	2	□				
154000	PHOSPHODIESTERASE PDE4	45253	hum	2	100 $\mu$ M	12	□				
156000	PHOSPHODIESTERASE PDE5	45452	hum	2	100 $\mu$ M	4	□				
156100	PHOSPHODIESTERASE PDE6	45453	bov	2	100 $\mu$ M	23	□				
180010	PROTEIN SERINE/THREONINE KINASE PKC $\alpha$	45273	hum	2	1000 $\mu$ M	10	□				
178010	PROTEIN SERINE/THREONINE KINASE PKC, NON-SELECTIVE	45090	rat	2	1000 $\mu$ M	74	□				
◆		45491	rat	2	300 $\mu$ M	54	□				
◆				2	100 $\mu$ M	50	□				
214510	CALCIUM CHANNEL TYPE L, BENZOTIAZEPINE	45139	rat	2	1000 $\mu$ M	13	□				
214600	CALCIUM CHANNEL TYPE L, DIHYDROPYRIDINE	45167	rat	2	1000 $\mu$ M	27	□				
215000	CALCIUM CHANNEL TYPE L, PHENYLALKYLAMINE	45262	rat	2	1000 $\mu$ M	7	□				
242500	INOSITOL TRIPHOSPHATE IP <sub>3</sub>	45039	rat	2	1000 $\mu$ M	17	□				

<sup>†</sup>BATCH: REPRESENTS COMPOUNDS TESTED CONCURRENTLY IN THE SAME ASSAY(S).

◆ DENOTES ITEM MEETING CRITERIA FOR SIGNIFICANCE

<sup>†</sup>RESULTS WITH  $\geq 50\%$  STIMULATION OR INHIBITION ARE BOLDFACED.

(NEGATIVE VALUES CORRESPOND TO STIMULATION OF BINDING ENZYME ACTIVITY)  
 R=ADDITIONAL COMMENTS bov=BOVINE; hum=HUMAN

FIG. 5

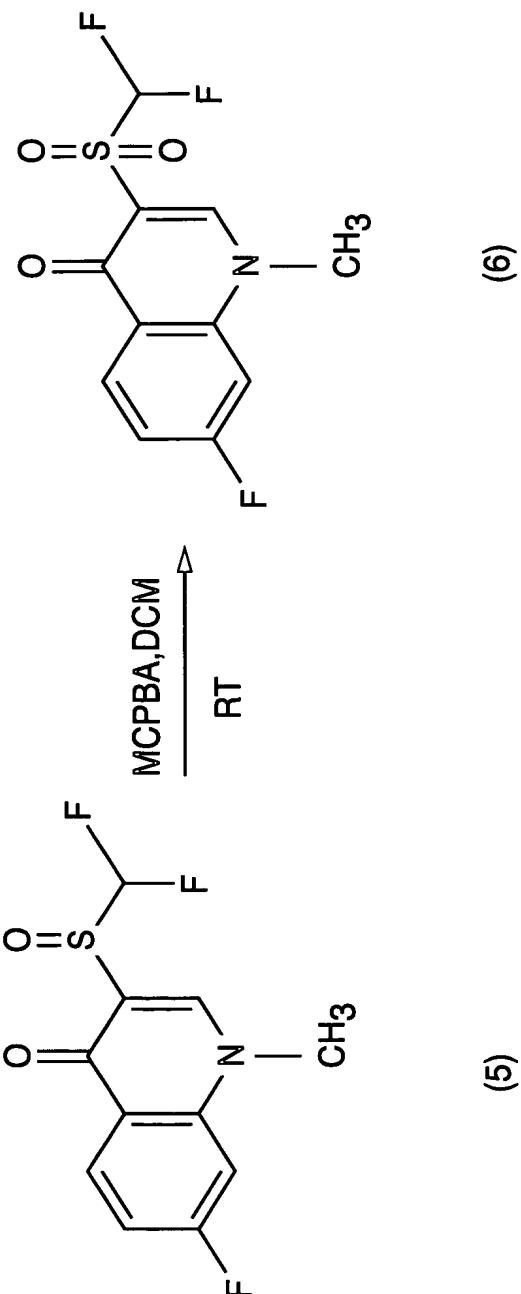


FIG. 6

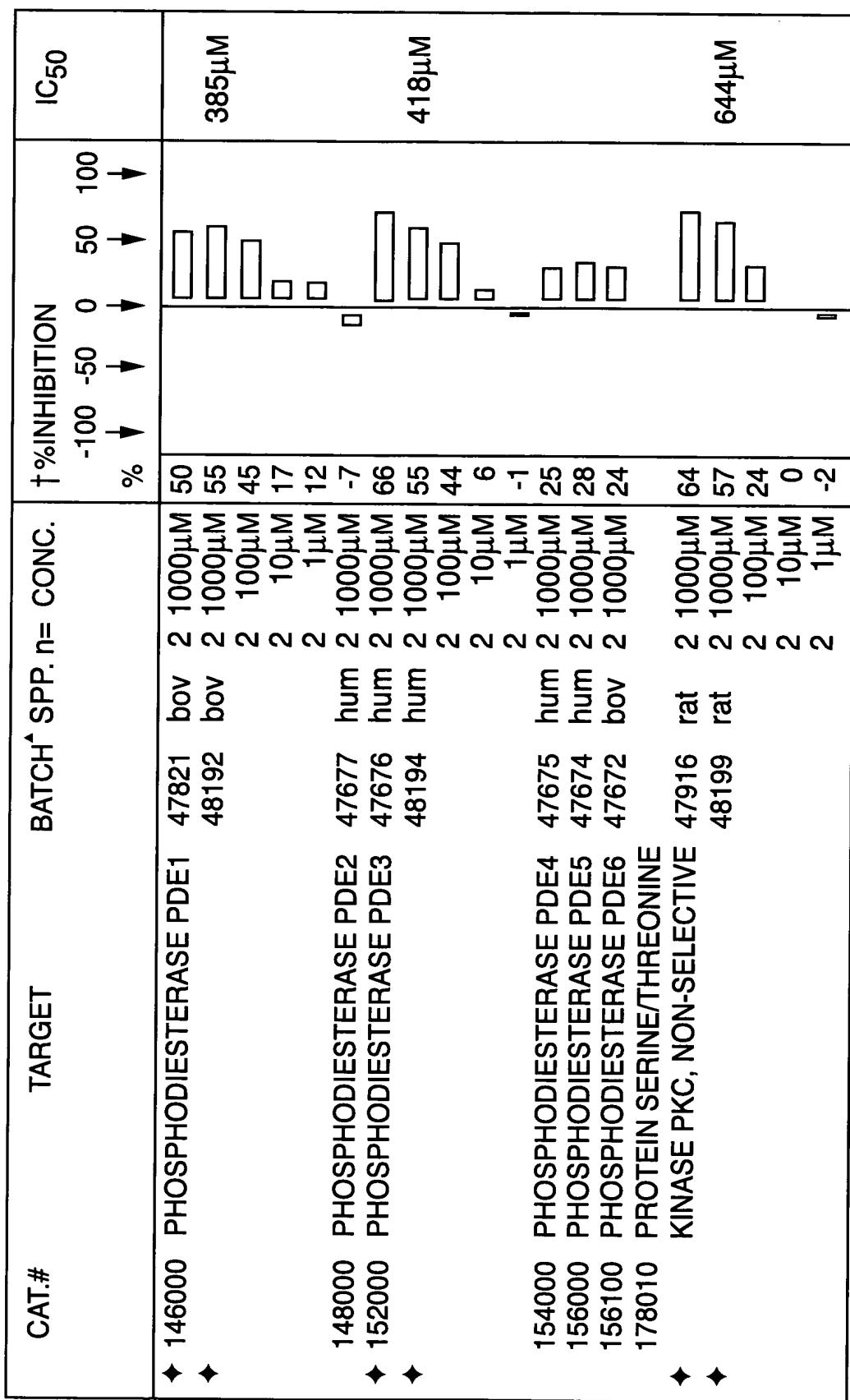


FIG. 7

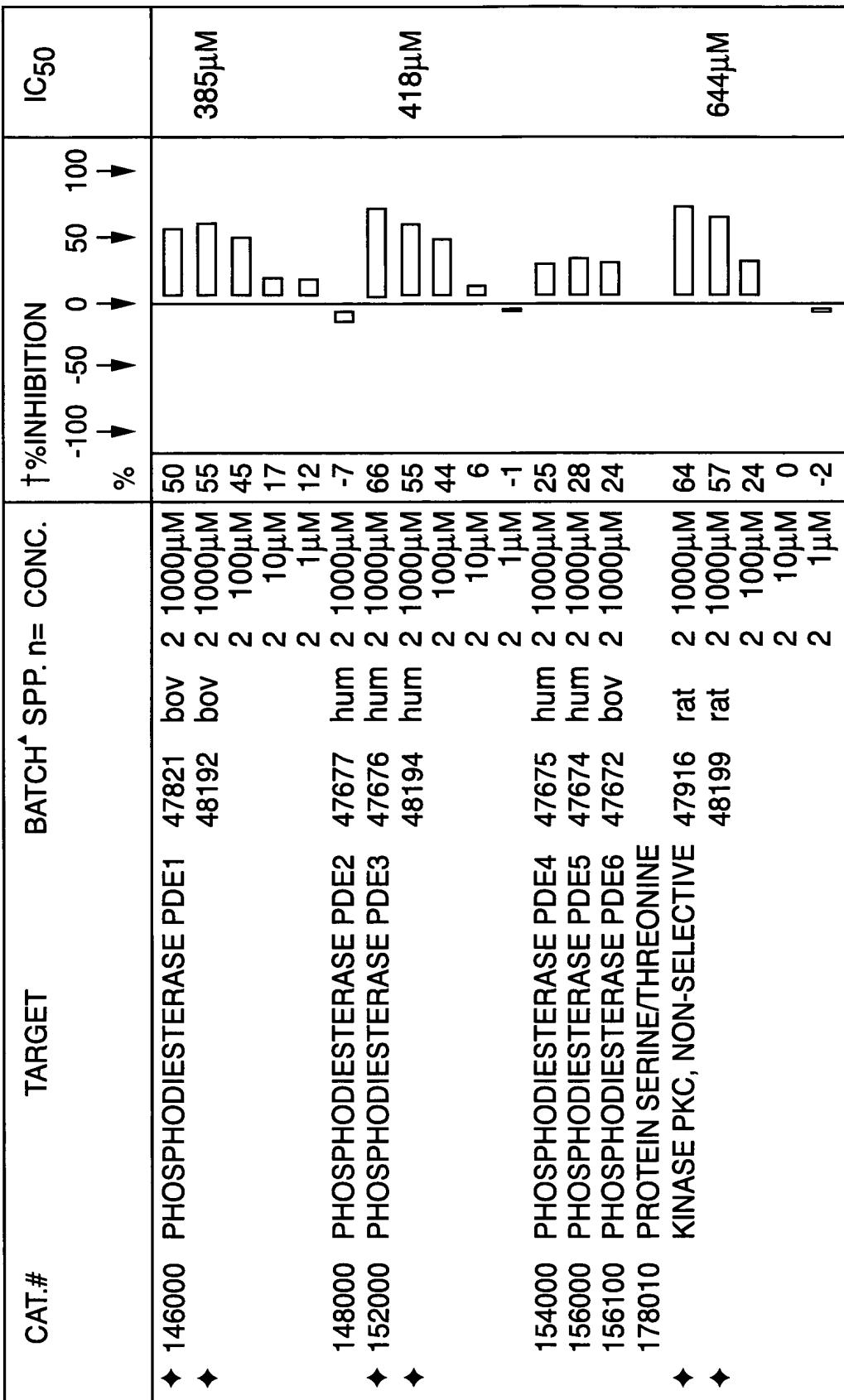


FIG. 8